=> file caplus
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FILE COVERS 1907 - 29 Mar 2005 VOL 142 ISS 14 FILE LAST UPDATED: 28 Mar 2005 (20050328/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 33 SEA FILE=REGISTRY SSS FUL L1

L5 10 SEA L3

=> => d 14 1-17 fbib abs hitstr

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1019782 CAPLUS

DN 142:6433

TI Preparation of aryl amides, arylpropenamides, and arylpentadienamides as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases

IN Yamamori, Teruo; Nagata, Kiyoshi; Ishizuka, Natsuki; Sakai, Katsunori

PA Japan

SO U.S. Pat. Appl. Publ., 43 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 2004235888 A1 20041125 US 2004-489333 20040421 WO 2001-JP7980 W 20010914

OS MARPAT 142:6433

Amides Ar1(R)NC(:Z)(CY2:CY1)nA and Ar1(R)NC(:Z)(CHY2CHY1)nA [A = Ar2, optionally fused with a monocyclic carbocycle or heterocycle; Ar1, Ar2 = mono- or bicyclic aromatic carbocycle or heterocycle; R = H, (un)substituted alkyl; Y1, Y2 = H, halogen, HO2C, NC, (un)substituted alkyl, alkoxycarbonyl, Ph, aromatic heterocyclyl; Z = 0, S; n = 0-2] such as N-2-pyridinyl trans- $\beta$ -(2-furanyl)acrylamide (I) and N-Ph trans-cinnamide (II) are prepared as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases. I is prepared in 57% yield by condensation of 2-pyridineamine and trans-2-furylacrylic acid with bromotrichloromethane and triphenylphosphine in THF. The minimal EDs for enhancement of human apolipoprotein AI expression by some compds. of the invention are given. E.g., II enhances human apolipoprotein AI expression with a minimal ED of 0.13  $\mu$ g/mL.

IT 62289-86-5P 340258-78-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl amides, arylpropenamides, and arylpentadienamides as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases)

RN 62289-86-5 CAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 340258-78-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:934332 CAPLUS

DN 141:379914

TI A preparation of indolecarboxamide and thieno[2,3-b]pyrrolecarboxamide derivatives, useful as antidiabetic agents

IN Bussolotti, Donald L.; Gammill, Ronald B.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 20 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

| ΡI | US     | 2004 | 2202 | 29  |     | A1  |     | 2004 | 1104 | 1    | US 2 | 004- | 8374 | 68  |     | 2    | 0040 | 430 |
|----|--------|------|------|-----|-----|-----|-----|------|------|------|------|------|------|-----|-----|------|------|-----|
|    |        |      |      |     |     |     |     |      |      | 1    | US 2 | 003- | 4666 | 67P |     | P 2  | 0030 | 430 |
|    | WO     | 2004 | 0967 | 68  |     | A1  |     | 2004 | 1111 | 1    | WO 2 | 004- | IB14 | 00  |     | 2    | 0040 | 423 |
|    |        | W :  | ΑE,  | AG, | AL, | AM, | AT, | AU,  | ΑZ,  | BA,  | BB,  | BG,  | BR,  | BW, | BY, | ΒZ,  | CA,  | CH, |
|    |        |      | CN,  | CO, | CR, | CU, | CZ, | DE,  | DK,  | DM,  | DZ,  | EC,  | EE,  | EG, | ES, | FI,  | GB,  | GD, |
|    |        |      | GE,  | GH, | GM, | HR, | HU, | ID,  | IL,  | IN,  | IS,  | JP,  | ΚE,  | KG, | ΚP, | KR,  | ΚZ,  | LC, |
|    |        |      | LK,  | LR, | LS, | LT, | LU, | LV,  | MA,  | MD,  | MG,  | MK,  | MN,  | MW, | MX, | MZ,  | NA,  | NI, |
|    |        |      | NO,  | NZ, | OM, | PG, | PH, | PL,  | PT,  | RO,  | RU,  | SC,  | SD,  | SE, | SG, | SK,  | SL,  | SY, |
|    |        |      | TJ,  | TM, | TN, | TR, | TT, | TZ,  | UA,  | UG,  | US,  | UZ,  | VC,  | VN, | YU, | ZA,  | ZM,  | zw  |
|    |        | RW:  | BW,  | GH, | GM, | KΕ, | LS, | MW,  | MZ,  | SD,  | SL,  | SZ,  | TZ,  | UG, | ZM, | ZW,  | AM,  | ΑZ, |
|    |        |      | BY,  | KG, | KZ, | MD, | RU, | TJ,  | TM,  | AT,  | ΒE,  | BG,  | CH,  | CY, | CZ, | DΕ,  | DK,  | EE, |
|    |        |      | ES,  | FI, | FR, | GB, | GR, | ΗU,  | ΙE,  | ΙT,  | LU,  | MC,  | NL,  | PL, | PT, | RO,  | SE,  | SI, |
|    |        |      | SK,  | TR, | BF, | ВJ, | CF, | CG,  | CI,  | CM,  | GA,  | GN,  | GQ,  | GW, | ML, | MR,  | NΕ,  | SN, |
|    |        |      | TD,  | TG  |     | ,,  |     |      |      |      |      |      |      |     |     |      |      |     |
|    | TD, TG |      |      |     |     |     |     |      | 1    | US 2 | 003- | 4666 | 67P  |     | P 2 | 0030 | 430  |     |

OS MARPAT 141:379914

$$\begin{array}{c|c}
 & SO_2R^2 \\
\hline
 & N \\
 & N \\
 & N \\
 & O \\
 & S \\
 & Et
\end{array}$$

$$\begin{array}{c|c}
 & O \\
 & Et
\end{array}$$

$$\begin{array}{c|c}
 & O \\
 &$$

The invention relates to a preparation of indolecarboxamide and thieno[2,3-b]pyrrolecarboxamide derivs. of formula I [wherein: R1 is (un)substituted indol-2-yl or 2-chlorothieno[2,3-b]pyrrol-5-yl; R2 is alkyl substituted with 1-3 fluorine atoms; R3 is H, NO2, NH2, or NH-alkyl, etc.; X is N, CH, or C-O-alkyl; Z is O or S], useful in treatment of diabetes, insulin resistance, diabetic neuropathy, diabetic retinopathy, hypertension, hyperlipidemia, and atherosclerosis, etc. For instance, indolecarboxamide derivative II was prepared via amidation of 5-chloro-1H-indole-2-carboxylic acid by 2-amino-4-(ethylsulfonyl)-6-nitrophenol with a yield of 62% (example 1).

ΙI

### IT 783370-03-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolecarboxamide and thieno[2,3-b]pyrrolecarboxamide derivs., useful as antidiabetic agents)

RN 783370-03-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-[6-amino-4-(ethylsulfonyl)-2-pyridinyl]-5-chloro- (9CI) (CA INDEX NAME)

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L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 2004:902369 CAPLUS

DN 141:379911

TI N-(Pyridin-2-yl)-substituted bicyclic heterocyclic carboxamide derivatives as antidiabetic agents, and their preparation, pharmaceutical compositions, and methods of use as inhibitors of glycogen phosphorylase

IN Bussolotti, Donald L.; Gammill, Ronald B.

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| FAN. | CNT           | 1     |      |     |            |     |      |      |      |      |      |       |      |                |     |      |      |     |
|------|---------------|-------|------|-----|------------|-----|------|------|------|------|------|-------|------|----------------|-----|------|------|-----|
|      | PAT           | ENT 1 | NO.  |     |            | KIN | D 1  | DATE |      |      | APPL | I CAT | ION  | . O <i>V</i> . |     | D    | ATE  |     |
|      |               |       |      |     |            |     | -    |      |      |      |      |       |      |                |     | _    |      |     |
| ΡI   | WO            | 2004  | 0921 | 58  |            | A1  | ,    | 2004 | 1028 | 1    | WO 2 | 004-  | IB11 | 98             |     | 2    | 0040 | 405 |
|      |               | W :   | ΑE,  | AG, | AL,        | AM, | AT,  | AU,  | AZ,  | BA,  | BB,  | BG,   | BR,  | BW,            | BY, | BZ,  | CA,  | CH, |
|      |               |       | CN,  | CO, | CR,        | CU, | CZ,  | DE,  | DK,  | DM,  | DZ,  | EC,   | EE,  | EG,            | ES, | FI,  | GB,  | GD, |
|      |               |       | GE,  | GH, | GM,        | HR, | HU,  | ID,  | IL,  | IN,  | IS,  | JP,   | KΕ,  | KG,            | KΡ, | KR,  | ΚZ,  | LC, |
|      |               |       | LK,  | LR, | LS,        | LT, | LU,  | LV,  | MA,  | MD,  | MG,  | MK,   | MN,  | MW,            | MX, | MZ,  | NA,  | NI, |
|      |               |       | NO,  | NZ, | OM,        | PG, | PH,  | PL,  | PT,  | RO,  | RU,  | SC,   | SD,  | SE,            | SG, | SK,  | SL,  | SY, |
|      |               |       | ТJ,  | TM, | TN,        | TR, | TT,  | TZ,  | UA,  | UG,  | US,  | UΖ,   | VC,  | VN,            | YU, | ZA,  | ZM,  | ZW  |
|      |               | RW:   | BW,  | GH, | GM,        | ΚE, | LS,  | MW,  | MZ,  | SD,  | SL,  | SZ,   | TZ,  | UG,            | ZM, | ZW,  | AM,  | ΑZ, |
|      |               |       | BY,  | KG, | ΚZ,        | MD, | RU,  | ТJ,  | TM,  | AT,  | BE,  | BG,   | CH,  | CY,            | CZ, | DE,  | DK,  | EE, |
|      |               |       | ES,  | FI, | FR,        | GB, | GR,  | HU,  | ΙE,  | IT,  | LU,  | MC,   | NL,  | PL,            | PT, | RO,  | SE,  | SI, |
|      |               |       | SK,  | TR, | BF,        | ВJ, | CF,  | CG,  | CI,  | CM,  | GA,  | GN,   | GQ,  | GW,            | ML, | MR,  | ΝE,  | SN, |
|      |               |       | TD,  | TG  |            |     |      |      |      |      |      |       |      |                |     |      |      |     |
|      |               |       |      |     |            |     |      |      |      | 1    | US 2 | 003-  | 4636 | 91P            | 1   | P 2  | 0030 | 417 |
|      | US 2004229916 |       |      |     | <b>A</b> 1 |     | 2004 | 1118 | 1    | US 2 | 004- | 8252  | 79   |                | 2   | 0040 | 415  |     |
|      | US 2004229916 |       |      |     |            |     |      |      |      | i    | US 2 | 003-  | 4636 | 91P            | ]   | P 2  | 0030 | 417 |

MARPAT 141:379911

OS GI

$$\mathbb{Z}^{\mathbb{R}^2}$$
 $\mathbb{Z}^{\mathbb{R}^2}$ 
 $\mathbb{X}^{\mathbb{R}^2}$ 
 $\mathbb{X}^{\mathbb{R}^2}$ 

$$Q^{1} = \mathbb{R} \xrightarrow{|I|} \mathbb{N}$$

$$Q^{2} = \mathbb{C}1 \xrightarrow{\mathbb{N}} \mathbb{N}$$

AΒ The invention provides title compds. I, the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compds., stereoisomers, and prodrugs [wherein: R1 = Q1 or Q2; R = 1-3 of H, NH2, cyano, NO2, halo, alkyl, or alkoxy; R2 = alkoxy; Ra, Rb = Me or OH, provided that both are not OH simultaneously; X = CH2OH, CO2Rc; Rc = H, alkyl, or CON(heterocycloalkyl); Z = O or S]. Also provided are pharmaceutical compns. and uses of I, particularly for the treatment of atherosclerosis, diabetes, insulin resistance, diabetic neuropathy, diabetic nephropathy, diabetic retinopathy, cataracts, hypercholesterolemia, hypertriglyceridemia, hyperlipidemia, hyperglycemia, hypertension, tissue ischemia, or myocardial ischemia. The compds. are inhibitors of glycogen phosphorylase (no data). Prepns. of approx. 7 compds. and various intermediates are given. For instance, coupling of 3-ethoxy-2-nitropyridine with Et 2-chloropropionate using NaH in DMF, with di-Me sulfate quenching, and reduction of the nitro group to amino with ammonium formate, gave 2-(6-amino-5-ethoxypyridin-3-yl)-2-methylpropionic acid Et ester. Amidation of this intermediate with the acid chloride of 5-chloro-1H-indole-2-carboxylic acid gave invention compound II.

TT 781614-93-5P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid ethyl ester 781615-11-0P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid sodium salt RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of N-pyridinyl bicyclic heterocyclic carboxamides as antidiabetics and inhibitors of glycogen phosphorylase)

RN 781614-93-5 CAPLUS

CN 3-Pyridineacetic acid, 6-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 781615-11-0 CAPLUS

CN 3-Pyridineacetic acid, 6-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl-, monosodium salt (9CI) (CA INDEX NAME)

Na

TT 781614-91-3P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-methylpropionic acid ethyl ester

781614-95-7P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid 781614-96-8P,

5-Chloro-1H-indole-2-carboxylic acid N-[5-(1,2-dihydroxy-1-methylethyl)-3-ethoxypyridin-2-yl]amide 781614-98-0P, 5-Chloro-1H-indole-2-carboxylic acid N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(morpholin-4-yl)-2-oxoethyl]pyridin-2-yl]amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-pyridinyl bicyclic heterocyclic carboxamides as antidiabetics and inhibitors of glycogen phosphorylase) 781614-91-3 CAPLUS

CN 3-Pyridineacetic acid, 6-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy-α,α-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 781614-95-7 CAPLUS

RN

CN 3-Pyridineacetic acid, 6-[[(5-chloro-lH-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl- (9CI) (CA INDEX NAME)

RN 781614-96-8 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxy-1-methylethyl)-3-ethoxy-2-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{OEt} \\ & & \text{O} \\ & & \text{OH} \\ & & \text{OH} \\ & & \text{OH} \\ & & \text{C-CH}_2\text{-OH} \\ & & \text{Me} \end{array}$$

RN 781614-98-0 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(4-morpholinyl)-2-oxoethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

## RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:546476 CAPLUS

DN 141:106368

TI Preparation and use of substituted 2,5-diamidoindoles for the treatment of urological diseases

IN Ergueden, Jens; Krahn, Thomas; Schroeder, Christian; Stasch, Johannes
Peter; Weigand, Stefan; Wild, Hanno; Brands, Michael; Siegel, Stephan;
Heimbach, Dirk; Keldenich, Joerg; Tajimi, Masaomi; Matsumoto, Hiroko

PA Bayer Healthcare A.-G., Germany

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---------------|------|----------|-----------------|----------|
|    |               |      |          |                 |          |
| PI | WO 2004056768 | A2   | 20040708 | WO 2003-EP13819 | 20031206 |
|    | WO 2004056768 | A3   | 20040805 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 2002-28718
A 20021220

Ι

OS MARPAT 141:106368

GΙ

AB The title compds. [I; R1 = alkyl, alkenyl, (CH2)nG (wherein G = cycloalkyl, 5-6 membered heterocyclyl having 1-2 O atoms; n = 0-4); R2 = alkyl, (CH2)mcycloalkyl, (CH2)mheterocyclyl, (CH2)maryl, (CH2)mheteroaryl (m = 0-4); R3 = (CH2)ocycloalkyl, (CH2)oheterocyclyl, (CH2)oaryl, (CH2)oheteroaryl (o = 0-4); R4 = H, alkyl, (CH2)pcycloalkyl, (CH2)pheterocyclyl, (CH2)paryl, (CH2)pheteroaryl (p = 0-4)], useful for the preparation of medicaments for treating urol. disorders in humans and/or animals, were prepared Thus, amidation of the amine II [R = H] (preparation given) with 3,3-dimethylbutyryl chloride in the presence of Et3N in CH2Cl2 afforded 45% II [R = Me3CCH2CO]. Biol. data (IC50's against ECE) for representative compds. I were given. Medicaments for treating urol. disorders comprising the compound I are claimed.

#### IT 509149-88-6P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of substituted 2,5-diamidoindoles as ECE inhibitors for the treatment of urol. diseases)

RN 509149-88-6 CAPLUS

1H-Indole-2-carboxamide, N-(5-amino-2-pyridinyl)-5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

$$Me_3C-CH_2-C-NH$$

$$N-CH_2$$

$$F$$

## IT 509150-45-2P 509150-46-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and use of substituted 2,5-diamidoindoles as ECE inhibitors for the treatment of urol. diseases)

RN 509150-45-2 CAPLUS

CN Carbamic acid, [6-[[[5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-1H-indol-2-yl]carbonyl]amino]-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 509150-46-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:515503 CAPLUS

DN 141:71452

TI Preparation of pyridine derivatives as JNK inhibitors

IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie

PA Astrazeneca Ab, Swed.

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent LA English FAN.CNT 1

|    |     | _    | _    |     |     |     |     |         |      |     |      |      |         |           |     |     |             |     |    |
|----|-----|------|------|-----|-----|-----|-----|---------|------|-----|------|------|---------|-----------|-----|-----|-------------|-----|----|
|    | PA. | CENT | NO.  |     |     | KIN | D   | DATE    |      | 1   | APPL | ICAT | ION     | NO.       |     | D   | ATE         |     |    |
|    |     |      |      |     |     |     | -   | <b></b> |      |     |      |      | <b></b> | - <b></b> |     | -   | - <b></b> - |     |    |
| ΡI | WO  | 2004 | 0528 | 80  |     | A1  |     | 2004    | 0624 | 1   | WO 2 | 003- | SE19    | 11        |     | 2   | 0031        | 208 |    |
|    |     | W :  | ΑE,  | AG, | AL, | AM, | AT, | ΑU,     | AZ,  | BA, | BB,  | BG,  | BR,     | BW,       | BY, | ΒZ, | CA,         | CH, |    |
|    |     |      | CN,  | CO, | CR, | CU, | CZ, | DE,     | DK,  | DM, | DZ,  | EC,  | EE,     | EG,       | ES, | FI, | GB,         | GD, |    |
|    |     |      | GE,  | GH, | GM, | HR, | HU, | ID,     | IL,  | IN, | IS,  | JP,  | KE,     | KG,       | KP, | KR, | KZ,         | LC, |    |
|    |     |      | LK,  | LR, | LS, | LT, | LU, | LV,     | MA,  | MD, | MG,  | MK,  | MN,     | MW,       | MX, | MZ, | NI,         | NO, |    |
|    |     |      | NZ,  | OM, | PG, | PH, | PL, | PT,     | RO,  | RU, | SC,  | SD,  | SE,     | SG,       | SK, | SL, | SY,         | ТJ, |    |
|    |     |      | TM,  | TN, | TR, | TT, | TZ, | UA,     | UG,  | US, | UΖ,  | VC,  | VN,     | YU,       | ZA, | ZM, | ZW          |     |    |
|    |     | RW:  | BW,  | GH, | GM, | ΚE, | LS, | MW,     | ΜZ,  | SD, | SL,  | SZ,  | TZ,     | UG,       | ZM, | ŻW, | AM,         | ΑZ, |    |
|    |     |      | BY,  | KG, | KZ, | MD, | RU, | TJ,     | TM,  | ΑT, | BE,  | BG,  | CH,     | CY,       | CZ, | DE, | DK,         | EE, |    |
|    |     |      | ES,  | FI, | FR, | GB, | GR, | HU,     | ΙE,  | ΙT, | LU,  | MC,  | NL,     | PT,       | RO, | SE, | SI,         | SK, |    |
|    |     |      | TR,  | BF, | ВJ, | CF, | CG, | CI,     | CM,  | GA, | GN,  | GQ,  | GW,     | ML,       | MR, | NE, | SN,         | TD, | TG |
|    |     |      |      |     |     |     |     |         |      |     | SE 2 | 002- | 3654    |           | 2   | A 2 | 0021        | 209 |    |

OS MARPAT 141:71452

GΙ

RN

The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

## IT 712268-63-8P 712268-95-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4-bipyridine-2,2'-diamine derivs. as JNK inhibitors) 712268-63-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

## 10/825,279

RN 712268-95-6 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:875249 CAPLUS

DN 139:364824

TI Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors for treatment of diabetes

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| FAN. | CNT I   |      |     |     |     |     |      |      |      |      |      |      |     |     |      |      |     |
|------|---------|------|-----|-----|-----|-----|------|------|------|------|------|------|-----|-----|------|------|-----|
|      | PATENT  | NO.  |     |     | KIN | D   | DATE |      | 2    | APPL | ICAT | ION  | NO. |     | D    | ATE  |     |
|      |         |      |     |     |     | -   |      |      |      |      |      |      |     |     |      |      |     |
| ΡI   | WO 2003 | 0912 | 13  |     | A1  |     | 2003 | 1106 | 1    | WO 2 | 003- | JP51 | 98  |     | 2    | 0030 | 423 |
|      | W:      | ΑE,  | AG, | AL, | AM, | AT, | AU,  | ΑZ,  | BA,  | BB,  | BG,  | BR,  | BY, | BZ, | CA,  | CH,  | CN, |
|      |         | CO,  | CR, | CU, | CZ, | DE, | DK,  | DM,  | DZ,  | EC,  | EE,  | ES,  | FI, | GB, | GD,  | GE,  | GH, |
|      |         | GM,  | HR, | HU, | ID, | IL, | IN,  | IS,  | JΡ,  | KE,  | KG,  | ΚP,  | KR, | KZ, | LC,  | LK,  | LR, |
|      |         | LS,  | LT, | LU, | LV, | MA, | MD,  | MG,  | MK,  | MN,  | MW,  | MX,  | ΜZ, | NI, | NO,  | NZ,  | OM, |
|      |         | PH,  | PL, | PT, | RO, | RU, | SC,  | SD,  | SE,  | SG,  | SK,  | SL,  | TJ, | TM, | TN,  | TR,  | TT, |
|      |         | TZ,  | UA, | UG, | US, | UΖ, | VC,  | VN,  | YU,  | ZA,  | ZM,  | ZW   |     |     |      |      |     |
|      | RW:     | GH,  | GM, | KΕ, | LS, | MW, | ΜZ,  | SD,  | SL,  | SZ,  | TZ,  | UG,  | ZM, | ZW, | AM,  | ΑZ,  | BY, |
|      |         | KG,  | ΚZ, | MD, | RU, | ТJ, | TM,  | AT,  | BE,  | BG,  | CH,  | CY,  | CZ, | DE, | DK,  | EE,  | ES, |
|      |         | FI,  | FR, | GB, | GR, | HU, | ΙE,  | IT,  | LU,  | MC,  | NL,  | PT,  | RO, | SE, | SI,  | SK,  | TR, |
|      |         | BF,  | ВJ, | CF, | CG, | CI, | CM,  | GA,  | GN,  | GQ,  | GW,  | ML,  | MR, | ΝE, | SN,  | TD,  | TG  |
|      |         |      |     |     |     |     |      | ,    | JP 2 | 002- | 1239 | 26   | 1   | A 2 | 0020 | 425  |     |

OS MARPAT 139:364824

GΙ

AΒ The title compds. I [wherein ring A = aryl or aromatic heterocyclyl; ring B = benzene or thiophene; R1-R9 = independently H, halo, OH, alkoxy, aryl, aryloxy, alkyl-CO-, alkyl-CH(OH)-, aryl-CO-, aryl-CH(OH)-, HO-alkylene, NH2, CN, CO2H, oxo, CO2-alkyl, aryl-alkylene(oxy), aryl-CONH-, (un)substituted alkyl, -O-alkylene-CO2H, or -O-alkylene-CONH2; R10 = H or alkyl; R11 = H, alkyl, or aryl-alkylene-; R12-R15 = independently H, OH, halo, alkoxy, HO-alkylene-, aryloxy, aromatic heterocyclyl, aryl-alkylene-, HO2C-alkylene-, -alkylene-CO2-alkyl, acyl, alkyl-CO2, alkyl-CH(OH)-, aryl-CH(OH)-, (un)substituted alkyl, -alkylene-CONH2, or aryl; etc.] and salts thereof are prepared as glycogen phosphorylase inhibitors. I are useful for the treatment of insulin-dependent diabetes (type 1 diabetes), insulin-independent diabetes (type 2 diabetes), insulin resistant disease, and obesity (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.25 μM against human glycogen phosphorylase.

Ι

# IT 620596-19-2P 620596-21-6P 620596-22-7P 620596-57-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indolecarboxamide derivs. as glycogen phosphorylase inhibitors for treatment of diabetes)

RN 620596-19-2 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxyethyl)-2-pyridinyl]-(9CI) (CA INDEX NAME)

RN 620596-21-6 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[3-chloro-5-(1,2-dihydroxyethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & C1 \\ & N \\ & C \\ & N \\ & C \\ & N \\ & CH-CH_2-OH \\ & OH \\ \end{array}$$

RN 620596-22-7 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxyethyl)-6-methyl-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 620596-57-8 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxyethyl)-1-oxido-2-pyridinyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH-CH_2-OH$ 
 $OH$ 

## IT 620596-72-7P 620596-75-0P 620596-90-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of indolecarboxamide derivs. as glycogen phosphorylase inhibitors for treatment of diabetes)

RN 620596-72-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-(5-bromo-2-pyridinyl)-5-chloro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 620596-75-0 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-(5-ethenyl-6-methyl-2-pyridinyl)-(9CI) (CA INDEX NAME)

C1 
$$\stackrel{\text{H}}{\longrightarrow}$$
  $\stackrel{\text{O}}{\longrightarrow}$   $\stackrel{\text{C}}{\longrightarrow}$   $\stackrel{\text{CH}}{\longrightarrow}$   $\stackrel{\text{CH}}{\longrightarrow}$ 

RN 620596-90-9 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-(5-ethenyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

## RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:551374 CAPLUS

DN 139:117331

TI Preparation of polyamide analogs possessing antibacterial, antifungal, and/or antitumor activity

IN Dyatkina, Natalia B.; Shi, Dong-fang; Roberts, Christopher Don; Velligan, Mark Douglas; Liehr, Sebastian Johannes Reinhard; Botyanszki, Janos; Zhang, Wentao; Khorlin, Alexander; Nelson, Peter Harold; Muchowski, Joseph Martin

PA Genelabs Technologies, Inc., USA; et al.

SO PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| L'HIA | PATENT NO. KIND DATE APPLICATION NO. DATE |      |      |     |     |     |        |      |      |     |      |       |       |      |     |     |      |     |
|-------|---|------|------|-----|-----|-----|--------|------|------|-----|------|-------|-------|------|-----|-----|------|-----|
|       | PA'                                       | CENT | NO.  |     |     | KIN | D<br>- | DATE |      | i   | APPL | ICAT  | ION I | NO . |     | D   | ATE  |     |
| ΡI    | WO  | 2003 | 0572 | 12  |     | A1  | -      | 2003 | 0717 | 1   | WO 2 | 002-1 | US41  | 087  |     | 2   | 0021 | 224 |
|       |   | W :  | ΑE,  | AG, | AL, | AM, | ΑT,    | AU,  | ΑZ,  | BA, | BB,  | BG,   | BR,   | BY,  | BZ, | CA, | CH,  | CN, |
|       |   |      | CO,  | CR, | CU, | CZ, | DE,    | DK,  | DM,  | DZ, | EC,  | EE,   | ES,   | FI,  | GB, | GD, | GE,  | GH, |
|       |   |      | GM,  | HR, | HU, | ID, | IL,    | IN,  | IS,  | JP, | ΚE,  | KG,   | ΚP,   | KR,  | ΚZ, | LC, | LK,  | LR, |
|       |   |      | LS,  | LT, | LU, | LV, | MA,    | MD,  | MG,  | MK, | MN,  | MW,   | MX,   | MZ,  | NO, | NZ, | OM,  | PH, |
|       |   |      | PL,  | PT, | RO, | RU, | SC,    | SD,  | SE,  | SG, | SK,  | SL,   | TJ,   | TM,  | TN, | TR, | TT,  | TZ, |
|       |   |      | UA,  | UG, | US, | UΖ, | VC,    | VN,  | YU,  | ZA, | ZM,  | ZW    |       |      |     |     |      |     |
|       |   | RW:  | GH,  | GM, | ΚE, | LS, | MW,    | MZ,  | SD,  | SL, | SZ,  | TZ,   | UG,   | ZM,  | ZW, | AM, | ΑZ,  | BY, |
|       |   |      | KG,  | KZ, | MD, | RU, | ТJ,    | TM,  | ΑT,  | BE, | BG,  | CH,   | CY,   | CZ,  | DE, | DK, | EE,  | ES, |
|       |   |      | FI,  | FR, | GB, | GR, | ΙE,    | ΙΤ,  | LU,  | MC, | NL,  | PT,   | SE,   | SI,  | SK, | TR, | BF,  | ВJ, |
|       |   |      | CF,  | CG, | CI, | CM, | GA,    | GN,  | GQ,  | GW, | ML,  | MR,   | NE,   | SN,  | TD, | TG  |      |     |
|       |   |      |      |     |     |     |        |      |      | 1   | US 2 | 001-  | 3437  | 96P  |     | P 2 | 0011 | 226 |
|       |   |      |      |     |     |     |        |      |      | 1   | US 2 | 001-3 | 3438  | 29P  |     | P 2 | 0011 | 226 |
|       | US  | 2003 | 2121 | 13  |     | A1  |        | 2003 | 1113 | I   | US 2 | 002-  | 3287  | 10   |     | 2   | 0021 | 224 |
|       |   |      |      |     |     |     |        |      |      | 1   | US 2 | 001-3 | 3437  | 96P  |     | P 2 | 0011 | 226 |
|       |   |      |      |     |     |     |        |      |      | Ī   | US 2 | 001-3 | 3438  | 29P  | ]   | P 2 | 0011 | 226 |
|       | BR  | 2002 | 0075 | 83  |     | Α   |        | 2004 | 0427 | 1   | BR 2 | 002-  | 7583  |      |     | 2   | 0021 | 224 |
|       |   |      |      |     |     |     |        |      |      | 1   | US 2 | 001-3 | 3437  | 96P  |     | P 2 | 0011 | 226 |
|       |   |      |      |     |     |     |        |      |      | 1   | US 2 | 001-3 | 3438  | 29P  |     | P 2 | 0011 | 226 |
|       |   |      |      |     |     |     |        |      |      |     |      |       |       |      |     |     |      |     |

WO 2002-US41087 W 20021224
NO 2003003773 A 20031023 NO 2003-3773 20030825
US 2001-343796P P 20011226
US 2001-343829P P 20011226
WO 2002-US41087 W 20021224

OS MARPAT 139:117331

GI

Compds. of formula R1Z1COX1NHCOX2CONHX3COZ2R2 [wherein Z1 and Z2 = AΒ independently NR3, O; R3 = H, alkyl; R1 and R2 = independently substituted alkyl or aryl, (un)substituted heteroaryl; X2 = (un)substituted aryl or heteroaryl, alkenyl, alkynyl, cycloalkyl, heterocyclic; X1 and X3 = independently (un) substituted aryl or heteroaryl, CHR4; R4 = (un) natural amino acid side chain; or their pharmaceutically acceptable salts] were prepared as topoisomerase inhibitors (no data) for use as antibacterial, antifungal, and/or antitumor agents. For example, 1H-indole-2,5dicarboxylic acid dipentafluorophenyl ester was reacted with at least two equivalent of 4-amino-1-methyl-1H-pyrrole-2-carboxylic acid [2-(carbamimidoyl)ethyl]amide in DMF to give I. Compds. of the invention exhibited antibacterial and antifungal activity with some having minimal inhibitory concns. of  $<45.5~\mu M$ . DNA binding assays showed that invention compds. bind to DNA very tightly, with apparent Kd,app values below 100 nM for most compds. tested.

Ι

### IT 386252-14-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

RN 386252-14-8 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-B

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\begin{array}{c} --\operatorname{CH}_2 - \operatorname{CH}_2 - \operatorname{NH} - \operatorname{C} - \operatorname{NH}_2 \\ || \\ \operatorname{NH} \end{array}
```

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:335082 CAPLUS

DN 138:353834

TI Preparation of indolecarboxamides as protein kinase and phosphatase inhibitors

IN Hangauer, David G., Jr.; El-Araby, Moustafa E.; Milkiewicz, Karen L.;
Nicotera, Thomas; Henderson, Donald

PA The Research Foundation of State University of New York, USA; Roswell Park Cancer Institute

SO PCT Int. Appl., 305 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PAN. | CNI                         | 1                            |          |        |     |        |     |      |      |     |          |       |       |         |     |     |               |                 |
|------|-----------------------------|------------------------------|----------|--------|-----|--------|-----|------|------|-----|----------|-------|-------|---------|-----|-----|---------------|-----------------|
|      | PA'                         | rent 1                       | NO.      |        |     |        |     |      |      |     | APPL     | ICAT  | ION I | NO.     |     | D.  | ATE           |                 |
| ΡI   | WO.                         | 2003                         | <br>0356 | <br>21 |     | <br>Δ1 |     | 2003 |      |     | <br>₩Ω 2 | 002-1 | 1633  | <br>660 |     | - 2 | 0021          | <br>019         |
|      |                             | W:                           | _        |        |     |        |     |      |      |     | _        | BG,   |       |         |     | _   |               |                 |
|      |                             | ** .                         |          |        | -   | -      |     | -    |      |     | •        | •     | •     |         | •   |     |               | •               |
|      |                             |                              |          |        |     |        |     |      |      |     |          | EE,   |       |         |     |     |               |                 |
|      |                             |                              |          |        |     |        |     |      | -    | -   | -        | KG,   | -     | -       | -   |     | •             | •               |
|      |                             |                              |          |        | •   | -      | •   | •    |      | •   |          | MW,   |       |         |     | •   |               | •               |
|      |                             |                              |          |        |     |        |     |      |      |     |          | SL,   | ТJ,   | TM,     | TN, | TR, | TT,           | TZ,             |
|      |                             |                              | UA,      | UG,    | UΖ, | VC,    | VN, | YU,  | ZA,  | ZM, | ZW       |       |       |         |     |     |               |                 |
|      |                             | RW: GH, GM, KE<br>KG, KZ, MD |          |        |     |        |     |      |      |     | -        | -     |       | -       | -   | -   | -             |                 |
|      |                             |                              | KG,      | KZ,    | MD, | RU,    | ТJ, | TM,  | ΑT,  | BE, | BG,      | CH,   | CY,   | CZ,     | DE, | DK, | EE,           | ES,             |
|      |                             |                              | FI,      | FR,    | GB, | GR,    | ΙE, | ΙΤ,  | LU,  | MC, | NL,      | PT,   | SE,   | SK,     | TR, | BF, | ВJ,           | CF,             |
|      | FI, FR, GE<br>CG, CI, CM    |                              |          | CM,    | GA, | GN,    | GQ, | GW,  | ML,  | MR, | NE,      | SN,   | TD,   | TG      |     |     |               |                 |
|      |                             |                              |          |        |     |        |     |      |      |     | US 2     | 001-  | 3361  | 91P     |     | P 2 | 0011          | 022             |
|      |                             |                              |          |        |     |        |     |      |      |     | US 2     | 002-  | 4107  | 26P     |     | P 2 | 0020          | 913             |
|      | US                          | 2003                         | 1666     | 15     |     | A1     |     | 2003 | 0904 |     | US 2     | 002-  | 2772  | 17      |     | 2   | 0021          | 019             |
|      |                             |                              |          |        |     |        |     |      |      |     | US 2     | 001-3 | 3361  | 91P     |     | P 2 | 0011          | 022             |
|      | US                          | 2004                         | 0190     | 15     |     | A1     |     | 2004 | 0129 |     | US 2     | 002-  | 2772  | 20      |     | 2   | 0021          | 019             |
|      |                             |                              |          |        |     |        |     |      |      |     | US 2     | 001-3 | 3361  | 91P     |     | P 2 | 0011          | 022             |
|      |                             |                              |          |        |     |        |     |      |      |     | US 2     | 002-  | 4107  | 26P     |     | P 2 | 0020          | 913             |
|      | ĒΡ                          | 1444                         | 204      |        |     | A1     |     | 2004 | 0811 |     | EP 2     | 002-  | 7738  | 33      |     | 2   | 0021          | 019             |
|      |                             | R:                           | AT.      | BE.    | CH. | DE,    | DK. | ES.  | FR.  | GB. | GR.      | IT.   | LI.   | LU.     | NL. | SE. | MC.           | PT.             |
|      | R: AT, BE, CH<br>IE, SI, LT |                              |          | -      |     |        |     | -    | -    | -   |          | -     |       | -       |     | ,   | ,             |                 |
|      | 16, 31, 11                  |                              |          |        | /   | _ ,    | ,   | ,    | ,    |     |          | 001-  |       |         |     |     | 0011          | 022             |
|      |                             |                              |          |        |     |        |     |      |      |     |          | 002-  |       |         |     |     |               |                 |
|      |                             |                              |          |        |     |        |     |      |      |     |          | 002-1 |       |         |     |     |               |                 |
|      |                             |                              |          |        |     |        |     |      |      |     |          | ~~~   | ノレンコ  |         | 1   |     | ~ ~ ~ <u></u> | <del>リエ</del> ノ |

OS MARPAT 138:353834

GI

$$\begin{array}{c|c}
R^3 \\
R^4 \\
R^2 \\
R^1 \\
H
\end{array}$$

$$\begin{array}{c}
R^4 \\
CONR^5R^6
\end{array}$$

Ι

AΒ The present invention provides a method for identifying inhibitors of protein kinases and/or protein phosphatases. Methods are also provided for inhibiting protein kinase and/or protein phosphatase activity. Specific non-peptide protein tyrosine kinase and/or protein phosphatase inhibitors I [X = halogen; R1-R6 = (un)substituted acyl, CONH2, CO2H, C(O)SH, OH, NH2, NHCONH2, SH, P(O)(OH)2, B(OH)2, halogen, aryl, heteroaryl, biaryl, heterocyclic, alkyl; NR5R6 = heterocyclic] were prepared Thus, N-(3-fluorobenzyl)-5-fluoro-1H-indole-2-carboxamide was prepared by amide coupling and gave 26% inhibition of epidermal growth factor receptor tyrosine kinase at  $10~\mu M$ . The protein kinase or protein phosphatase inhibitors of the present invention may be used to treat a number of conditions in patients, including cancer, psoriasis, arthrosclerosis, immune system activity, diabetes, or obesity. In addition, the present invention provides a method for protecting against or treating hearing loss in a subject. This method involves administering an effective amount of a protein tyrosine kinase inhibitor to the subject to protect against or to treat hearing loss.

IT 518060-39-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolecarboxamides as protein kinase and phosphatase inhibitors)

RN 518060-39-4 CAPLUS

CN 1H-Indole-2-carboxamide, 5-fluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:282390 CAPLUS
- DN 138:304157
- TI Preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for the treatment of cardiovascular diseases
- IN Ergueden, Jens-kerim; Krahn, Thomas; Schroeder, Christian; Stasch,
  Johannes-peter; Weigand, Stefan; Wild, Hanno; Brands, Michael; Siegel,
  Stephan; Heimbach, Dirk; Keldenich, Joerg
- PA Bayer Aktiengesellschaft, Germany
- SO PCT Int. Appl., 142 pp. CODEN: PIXXD2
- DT Patent
- LA German

FAN.CNT 1

|    | PATENT NO.        | KIND   | DATE      | APPLICATION NO.     | DATE            |
|----|-------------------|--------|-----------|---------------------|-----------------|
| ΡI | WO 2003028719     |        | 20030410  | WO 2002-EP10349     | 20020916        |
|    | W: AE, AG, AL,    | AM, AT | , AU, AZ, | BA, BB, BG, BR, BY, | BZ, CA, CH, CN, |
|    | CO, CR, CU,       | CZ, DE | , DK, DM, | DZ, EC, EE, ES, FI, | GB, GD, GE, GH, |
|    | GM, HR, HU,       | ID, IL | , IN, IS, | JP, KE, KG, KP, KR, | KZ, LC, LK, LR, |
|    | LS, LT, LU,       | LV, MA | , MD, MG, | MK, MN, MW, MX, MZ, | NO, NZ, OM, PH, |
|    | PL, PT, RO,       | RU, SD | , SE, SG, | SI, SK, SL, TJ, TM, | TN, TR, TT, TZ, |
|    | UA, UG, US,       | UZ, VC | , VN, YU, | ZA, ZM, ZW          |                 |
|    | RW: GH, GM, KE,   | LS, MW | , MZ, SD, | SL, SZ, TZ, UG, ZM, | ZW, AM, AZ, BY, |
|    | KG, KZ, MD,       | RU, TJ | , TM, AT, | BE, BG, CH, CY, CZ, | DE, DK, EE, ES, |
|    | FI, FR, GB,       | GR, IE | , IT, LU, | MC, NL, PT, SE, SK, | TR, BF, BJ, CF, |
|    | CG, CI, CM,       | GA, GN | , GQ, GW, | ML, MR, NE, SN, TD, | TG              |
|    |                   |        |           | DE 2001-10147672    | A 20010927      |
|    | DE 10147672       | A1     | 20030410  | DE 2001-10147672    | 20010927        |
|    | EP 1432415        | A1     | 20040630  | EP 2002-767488      | 20020916        |
|    | R: AT, BE, CH,    | DE, DK | , ES, FR, | GB, GR, IT, LI, LU, | NL, SE, MC, PT, |
|    | IE, SI, LT,       | LV, FI | , RO, MK, | CY, AL, TR, BG, CZ, | EE, SK          |
|    |                   |        |           | DE 2001-10147672    | A 20010927      |
|    |                   |        |           | WO 2002-EP10349     | W 20020916      |
|    | US 2005038101     | A1     | 20050217  | US 2004-490821      | 20040916        |
|    |                   |        |           | DE 2001-10147672    | A 20010927      |
|    |                   |        |           | WO 2002-EP10349     | W 20020916      |
| os | MARPAT 138:304157 |        |           |                     |                 |

OS MARPAT 138:304157

$$\begin{array}{c|c}
R^1 & H \\
0 & & 0 \\
N & H \\
R^2 & H
\end{array}$$

AB Title compds. I [R1 = alkyl, alkenyl, etc.; R2 = (cyclo)alkyl, aryl, etc.; R3 = cycloalkyl; heterocyclyl, aryl, etc.; R4 = H, alkyl, cycloalkyl, heterocyclyl, etc.] are prepared For instance, 5-nitro-1-(2-fluorobenzyl)-1H-indol-2-carboxylic acid Et ester (preparation given) is saponified (DMSO, water, KOH), coupled to aniline (CH2Cl2, SOCl2), reduced to the aniline derivative (EtOH, SnCl2) and acylated to give II. II has IC50 = 1  $\mu$ M for the endothelin-converting enzyme (ECE). I are useful for the treatment of

cardiovascular diseases.

#### IT 509149-88-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509149-88-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-(5-amino-2-pyridinyl)-5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ Me_3C-CH_2-C-NH & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

#### IT 509150-45-2P 509150-46-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509150-45-2 CAPLUS

CN Carbamic acid, [6-[[[5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-1H-indol-2-yl]carbonyl]amino]-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 509150-46-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)

# RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:159952 CAPLUS
- DN 138:368703
- TI New N-pyridinyl (methyl) -indole-2- and 3-(Alkyl) carboxamides and Derivatives Acting as Systemic and Topical Inflammation Inhibitors
- AU Breteche, Anne; Duflos, Muriel; Dassonville, Alexandra; Nourrisson, Marie-Renee; Brelet, Jacques; Le Baut, Guillaume; Grimaud, Nicole; Petit, Jean-Yves
- CS Laboratoires de Chimie Organique et de Chimie Therapeutique, UPRES EA 1155, Faculte de Pharmacie, Nantes, 44035, Fr.
- SO Journal of Enzyme Inhibition and Medicinal Chemistry (2002), 17(6), 415-424
  - CODEN: JEIMAZ; ISSN: 1475-6366
- PB Taylor & Francis Ltd.
- DT Journal
- LA English
- OS CASREACT 138:368703

GI

III

AB A series of novel N-substituted-(indol-2-yl) carboxamides, e.g. I, and (indol-3-alkyl)carboxamides, e.g. II, were synthesized and evaluated as inhibitors of the inflammation process. Pharmacomodulation at the level of the amidic nitrogen by incorporation of the previously described pharmacophoric moieties 6-aminolutidine,  $\beta$ -picolylamine, 4-aminopyridine and piperazine was investigated; only two compds. I and II exhibited significant (~40%) inhibitory effect in the carrageenan-induced rat paw edema after oral administration of a dose of 0.1mMkg-1. Replacement of the indole core by indazole failed to increase activity. Incorporation of an alkyl chain spacer led to more efficient compds., e.g. III (X=H or F), especially in the indolepropanamide sub-series. Determination of the

## IT 142877-66-5P 521276-45-9P 521276-46-0P 521276-47-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of N-pyridinyl(methyl)-indole-2- and 3-(alkyl)carboxamides and derivs. acting as systemic and topical inflammation inhibitors)

RN 142877-66-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-methyl- (9CI) (CA INDEX NAME)

RN 521276-45-9 CAPLUS

CN lH-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 521276-46-0 CAPLUS

CN 1H-Indole-2-carboxamide, 3-bromo-N-(4,6-dimethyl-2-pyridinyl)-1-methyl-(9CI) (CA INDEX NAME)

RN 521276-47-1 CAPLUS

CN 1H-Indole-2-carboxamide, 3-bromo-N-(4,6-dimethyl-2-pyridinyl)-1-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

## RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:737351 CAPLUS

DN 138:265138

TI Synthesis and antioxidant properties of novel N-substituted indole-2-carboxamide and indole-3-acetamide derivatives

AU Olgen, Sureyya; Coban, Tulay

CS Department of Pharmaceutical Chemistry, Faculty of Pharmacy, University of Ankara, Ankara, 06100, Turk.

SO Archiv der Pharmazie (Weinheim, Germany) (2002), 335(7), 331-338 CODEN: ARPMAS; ISSN: 0365-6233

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 138:265138

AB A series of N-substituted indole-2-carboxamide and indole-3-acetamide derivs. have been prepared and their in vitro effects on rat liver lipid peroxidn. levels and superoxide anion formation were determined. The results clearly demonstrate that indole derivs. 4, 5, 10, 15, 17 are very efficient antioxidants compared to  $\alpha$ -tocopherol.

IT 503617-64-9P 503617-70-7P 503617-71-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(synthesis, antioxidative effect and structure-activity relationship of novel N-substituted indole-2-carboxamide and indole-3-acetamide derivs.)

RN 503617-64-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-(6-methyl-2-pyridinyl)-1-phenyl- (9CI) (CA INDEX NAME)

RN 503617-70-7 CAPLUS

CN 1H-Indole-2-carboxamide, N-(6-methyl-2-pyridinyl)-1-(phenylmethyl)- (9CI)

#### (CA INDEX NAME)

RN 503617-71-8 CAPLUS

CN 1H-Indole-2-carboxamide, 1-(4-methylbenzoyl)-N-(6-methyl-2-pyridinyl)(9CI) (CA INDEX NAME)

### IT 503617-68-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis, antioxidative effect and structure-activity relationship of novel N-substituted indole-2-carboxamide and indole-3-acetamide derivs.)

RN 503617-68-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

## RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:10469 CAPLUS

DN 136:85750

TI Preparation of novel compounds possessing antibacterial, antifungal or antitumor activity

IN Zhang, Wentao; Liehr, Sebastian Johannes R.; Velligan, Mark Douglas; Dyatkina, Natalia B.; Botyanszki, Janos; Shi, Dong-Fang; Roberts, Christopher Don; Khorlin, Alexander; Nelson, Peter Harold; Muchowski, Joseph Martin

PA Genelabs Technologies, Inc., USA

SO PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DT Patent LA English FAN.CNT 1

| FAN. | PA. | 1<br>CENT    |         |      |     | KIN      |      |      |              |   |     |     |      | ION I          |             |      |          | DATE  |      |
|------|-----|--------------|---------|------|-----|----------|------|------|--------------|---|-----|-----|------|----------------|-------------|------|----------|-------|------|
| PI   | WO  | 2002<br>2002 | 0006    | 50   |     | A2<br>A3 |      | 2002 | 0103<br>1024 |   |     |     |      | US20           |             |      |          | 20010 | 626  |
|      |     | W:           |         |      | AT. |          |      |      |              |   | BE  | 3.  | BG.  | BR.            | BY.         | BZ.  | CA       | , CH, | CN.  |
|      |     | •••          |         |      |     |          |      |      |              |   |     |     |      |                |             |      |          | , GM, |      |
|      |     |              | -       | -    | -   | -        | -    | -    |              | - |     |     |      | -              | -           | -    |          | , LS, |      |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      |                |             |      |          | , RO, |      |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      |                |             |      |          | , UZ, |      |
|      |     |              | -       | -    |     |          |      |      | KG,          |   |     |     |      | -              |             | 00,  | 0.5      | , 04, | VIV, |
|      |     | DM.          |         |      |     |          |      |      |              |   |     |     |      |                |             | ידית | סם       | CH,   | CV   |
|      |     | IVW .        | -       |      |     |          |      |      |              | - |     |     |      |                |             | -    |          | TR,   |      |
|      |     |              |         |      |     |          |      |      | GN,          |   |     |     |      |                |             |      |          |       | Dr,  |
|      |     |              | ы,      | Cr,  | CG, | CI,      | CP1, | GA,  | GN,          |   |     |     |      |                |             |      |          | 20000 | 627  |
|      | CA  | 2414         | E 1 0   |      |     | AA       |      | 2002 | 0103         |   |     |     |      | 2414           |             |      |          | 20010 |      |
|      | CA  | 2414         | 512     |      |     | AA       |      | 2002 | 0103         |   |     |     |      |                |             |      |          |       |      |
|      |     |              |         |      |     |          |      |      |              |   | MO. | 20  | 100- | 7144<br>7144   | 702         |      | P<br>M   | 20000 | 1021 |
|      | 110 | 2002         | 0270    |      |     | 7.1      |      | 2002 | 0220         |   | WO  | 20  | 01-  | 8923:          | 334<br>37   |      |          | 20010 |      |
|      |     |              |         | 56   |     |          |      |      | 0328         |   | US  | 20  | 101- | 8923           | 21          |      |          | 20010 | 1626 |
|      | 05  | 6849         | /13     |      |     | В2       |      | 2005 | 0201         |   | uc  | 2.0 |      | 2144           | 700         |      | D        | 20000 | (27  |
|      | ממ  | 1204         | 717     |      |     | A2       |      | 2002 | 0326         |   | 02  | 20  | 100- | 2144<br>9487   | /8P         |      | P        | 20000 |      |
|      | EP  | 1294<br>R:   |         |      |     |          |      |      |              |   |     |     |      |                |             |      |          |       |      |
|      |     | K:           |         |      |     |          |      |      |              |   |     |     |      | ш,             | ъυ,         | иL,  | 56       | , MC, | Ρ1,  |
|      |     |              | ıe,     | 51,  | ш,  | ъν,      | rı,  | RO,  | MK,          |   |     |     |      | 2144           | 700         |      | D        | 20000 | 607  |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      |                |             |      |          | 20010 |      |
|      | מם  | 2001         | 0120    | 2.0  |     | А        |      | 2002 | 0429         |   |     |     |      | US20:<br>1203  |             |      |          | 20010 |      |
|      | DK  | 2001         | 0120    | 30   |     | A        |      | 2003 | 0423         |   |     |     |      | 1203<br>2144   |             |      |          | 20010 |      |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      | US20:          |             |      |          | 20010 |      |
|      | TD  | 2004         | E 0 1 0 | 1 =  |     | Т2       |      | 2004 | 0122         |   |     |     |      | 5057           |             |      |          | 20010 |      |
|      | UF  | 2004         | 3019    | 15   |     | 12       |      | 2004 | 0122         |   |     |     |      | 2144           |             |      |          | 20010 |      |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      |                |             |      |          |       |      |
|      | ΝZ  | 5228         | 20      |      |     | Α        |      | 2004 | 1126         |   |     |     |      | US20:<br>5228: |             |      |          | 20010 |      |
|      | 147 | 3220         | 39      |      |     | A        |      | 2004 | 1120         |   |     |     |      | 2144           |             |      |          |       |      |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      | US20:          |             |      | _        | 20000 |      |
|      | HC  | 2003         | 1107    | 4.0  |     | A1       |      | 2003 | 0626         |   |     |     |      | 2776           |             |      |          | 20010 |      |
|      | 03  | 2003         | 1137    | 4 7  |     | AI       |      | 2003 | 0626         |   |     |     |      |                |             |      |          |       |      |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      | 2144           |             |      |          | 20000 |      |
|      | NO  | 2002         | 0057    | 20   |     | 70       |      | 2002 | 0006         |   |     |     |      | 8923           | 21          |      | A3       | 20010 |      |
|      | MO  | 2002         | 0057    | 20   |     | A        |      | 2003 | 0226         |   |     |     |      | 5720           | 70 D        |      | <b>D</b> | 20021 | -    |
|      |     |              |         |      |     |          |      |      |              |   |     |     |      |                |             |      |          | 20000 |      |
|      | 73  | 2000         |         | 7.4  |     |          |      | 2021 | ^ ^ ^ ^      |   |     |     |      | US20           | 33 <b>4</b> |      |          | 20010 |      |
|      | ZA  | 2002         | 0097    | /4   |     | Α        |      | 2004 | 0302         |   |     |     |      | 9774           | 205         |      |          | 20021 |      |
| os   | MAI | RPAT         | 136:    | 8575 | 0   |          |      |      |              |   | US  | 20  | 100- | 2144           | 18 P        |      | P        | 2000€ | 162/ |

OS MARPAT 136:85750

GI

Ι

Compds. of formula R1Z1COX1NHCOX2CONHX3COZ2R2 (Z1 and Z2 = independently AΒ NR3, O; R3 = H, alkyl; R1 and R2 = independently substituted alkyl or aryl, (un) substituted heteroaryl; X2 = (un) substituted aryl or heteroaryl, alkenyl, alkynyl, cycloalkyl, heterocyclic; X1 and X3 = independently (un) substituted aryl or heteroaryl, CHR4; R4 = (un) natural amino acid side chain) or their pharmaceutically acceptable salts were prepared and possess one or more of the following activities: antibacterial, antifungal and antitumor activity. For example, 1H-Indole-2,5-dicarboxylic acid dipentafluorophenyl ester was reacted with at least two equivalent of 4-amino-1-methyl-1H-pyrrole-2-carboxylic acid (2-carbamimidoyl-ethyl)amide in DMF to give compound I. Compds. of this invention exhibited antibacterial and antifungal activity with some having minimal inhibitory concns. of <45.5 \( \mu M \). Studies of their DNA binding properties demonstrated that they bind to DNA very tightly, with apparent Kd,app values below 100 nM for most compds. tested.

IT 386252-14-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

RN 386252-14-8 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

O
N
Me
O
N
H

C
NH
C
NH
C
NH
C
NH
C
NH

PAGE 1-B

L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:366093 CAPLUS

DN 134:361366

TI Amides as apolipoprotein A-I expression stimulators

IN Yamamori, Teruo; Naqata, Kiyoshi; Ishizuka, Natsuki; Sakai, Katsunori

PA Shionogi and Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO.                  | DATE                 |
|----|---------------|------|----------|----------------------------------|----------------------|
|    |               |      |          |                                  |                      |
| ΡI | JP 2001139550 | A2   | 20010522 | JP 1999-326416<br>JP 1999-326416 | 19991117<br>19991117 |

GΙ

$$\begin{array}{c|c} z & Y1 \\ N & Y2 \\ \end{array}$$

Ι

The stimulators, useful for treatment of arteriosclerosis and blood lipid disorder, comprise I [A = (un)substituted mono or dicyclic aromatic hydrocarbyl, heterocyclyl, etc.; Arl = (un)substituted mono or dicyclic aromatic hydrocarbyl, heterocyclyl; R = H, (un)substituted lower alkyl; Z = O, S; Y1, Y2 = H, halo, (un)substituted lower alkyl, CO2H, (un)substituted lower alkoxycarbonyl, cyano, etc.; n = 0-2; dotted line represents optional double bond], their prodrug, pharmaceutically acceptable salts, or hydrates. P-toluidine was reacted with p-chlorobenzoyl chloride in the presence of pyridine in CHCl3 at room temperature for 5 h to give 81.6% 4-chloro-N-(4-tolyl)benzamide showing good stimulating activity for promoting human apolipoprotein A-I production gene.

#### IT 340258-78-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (amides as apolipoprotein A-I expression stimulators)

RN 340258-78-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)

- L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1996:57804 CAPLUS
- DN 124:164314
- TI Non-carboxylic antiinflammatory compounds. III. N-(4,6-Dimethylpyridin-2-yl)arylcarboxamides and arylthiocarboxamides acting as brain edema inhibitors
- AU Robert J. M. H.; Robert-Piessard, S.; Courant, J.; Le Baut, G.; Robert, B.; Lang, F.; Petit, J. Y.; Grimaud, N.; Welin, L.
- CS Lab. chimie organique chimie therapeutique, Faculte pharmacie, Nantes, 44035, Fr.
- SO European Journal of Medicinal Chemistry (1995), 30(12), 915-24 CODEN: EJMCA5; ISSN: 0223-5234
- PB Elsevier
- DT Journal
- LA English

GΙ

Pharmacomodulation of the non-carboxylic NSAID N-(4,6-dimethylpyridin-2-yl)benzamide led to the synthesis of structurally related furan, thiophene and pyrrole carboxamides. Benzenethiocarboxamides and heteroarylthiocarboxamides were also prepared by oxygen/sulfur exchange; this reaction was more efficiently carried out by P4S10 than by Lawesson's reagent. The 20 synthesized compds. were evaluated against peripheral edema by a foot-pad carrageenin-induced edema test. Two amides, (I) and (II), were selected for evaluation of their inhibitory activity in PLA2-induced brain edema and were more potent than dexamethasone after IP administration.

#### IT 142877-66-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiinflammatory structure activity of arylthiocarboxamides and benzenethiocarboxamides)

RN 142877-66-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-methyl- (9CI) (CA INDEX NAME)

- L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1992:501515 CAPLUS
- DN 117:101515
- TI N-(4,6-dimethylpyridin-2-yl)(1-methylindol-2-yl)carboxamide
- AU Rodier, N.; Cense, J. M.; Robert, J. M.; Le Baut, G.
- CS Lab. Chim. Miner., Fac. Sci. Pharm. Biol., Chatenay-Malabry, 92296, Fr.
- SO Acta Crystallographica, Section C: Crystal Structure Communications (1992), C48(6), 1148-50 CODEN: ACSCEE; ISSN: 0108-2701
- DT Journal
- LA French
- The title compound is orthorhombic, space group P212121, with a 6.3847(8), b 10.234(1), and c 22.251(3) Å; Z = 4, dc = 1.276, T = 294(1) K, R = 0.048 for 1019 reflections. Atomic coordinates are given. The whole mol. is approx. planar. The least-squares planes of the pyridyl ring and the indolyl group make an angle of 2(2)°. The intramol.

## 10/825,279

 $C(3)-H(3)\cdots O(20)$  H bond [2.859(5) Å,

118(3)°)] forms a pseudo-cycle and contributes to the planarity of the mol. There is a delocalized orbital along the amide group. The title compound belongs to a family whose numerous members proved to have anti-inflammatory properties. Its crystal structure was solved to compare its mol. geometry with the geometries of active mols.

IT 142877-66-5

RL: PRP (Properties)

(crystal structure of)

RN 142877-66-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:231432 CAPLUS

DN 110:231432

TI Preparation of N-substituted indolecarboxamides and indolemethylamines as nervous system agents

IN Uhlendorf, Joachim; Borbe, Harald; Ruecker, Werner

PA Nattermann, A., und Cie. G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 7 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
|    |            |      |          |                 |          |
| ΡĮ | DE 3705934 | A1   | 19880908 | DE 1987-3705934 | 19870225 |
|    |            |      |          | DE 1987-3705934 | 19870225 |

OS CASREACT 110:231432; MARPAT 110:231432

GΙ

AB The title compds. [I; R1 = H, halo, Me, MeO; R2,R3 = H, Me, Et; R4 = pyridyl, imidazolyl, 5-methylisoxazolyl, pyrimidinyl, pyridazinyl, (un) substituted Ph, thiazolyl; Z = CO, CH2] were prepared as nervous system agents (no data). 3-Methoxy-1-methylindole-2-carbonyl chloride was stirred 12 h with 2-aminopyridine in CH2Cl2 containing Et3N to give N-(2-pyridyl)-3-methoxy-1-methylindolecarboxamide.

IT 120271-92-3P 120271-95-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

#### 10/825,279

(preparation of, as nervous system agent)

RN 120271-92-3 CAPLUS

CN 1H-Indole-2-carboxamide, 3-methoxy-1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 120271-95-6 CAPLUS

CN 1H-Indole-2-carboxamide, 3-hydroxy-1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1977:139898 CAPLUS

DN 86:139898

TI Syntheses of thieno[2,3-c]-, pyrrolo[2,3-c]-, and indolo[2,3-c]diazanaphthalenes by photocyclization of acylaminopyridines

AU Kanaoka, Yuichi; Sannohe, Kunio; Hatanaka, Yasumaru; Itoh, Kazuhiko; Machida, Minoru; Terashima, Masanao

CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, Japan

SO Heterocycles (1977), 6(1), 29-32 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

OS CASREACT 86:139898

GI

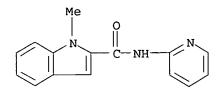
Oxidative photocyclization of I (2-, 3- or 4-pyridyl; X = S, NMe) and II (2,3- or 4-pyridyl) gave novel polycyclic systems, e.g., thieno[2,3-c]-, pyrrolo[2,3-c]- and indolo[2,3-c]diazanaphthalenes. E.g., photolysis of I (2-pyridyl, X = S) in the presence of O gave 27% III.

IT **62289-86-5** 

RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidative photocyclization of)

RN 62289-86-5 CAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



=> file uspatall

FILE 'USPATFULL' ENTERED AT 13:58:01 ON 29 MAR 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:58:01 ON 29 MAR 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d 15 1-10 ibib abs hitstr

L5 ANSWER 1 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2005:44368 USPATFULL

ACCESSION NUMBER: 2005:44366 USPAIFULL

TITLE: Substituted 2 5-diamidoindoles as ece inhibitors for

the treatment of cardiovascular diseases

INVENTOR(S): Erguden, Jens-Kerim, Wulfrath, GERMANY, FEDERAL

REPUBLIC OF

Krahn, Thomas, Hagen, GERMANY, FEDERAL REPUBLIC OF Schroder, Christian, Bergheim, GERMANY, FEDERAL

REPUBLIC OF

Stasch, Johannes-Peter, Solingen, GERMANY, FEDERAL

REPUBLIC OF

Weigand, Stefan, Wuppertal, GERMANY, FEDERAL REPUBLIC

OF

Wild, Hanno, Wuppertal, GERMANY, FEDERAL REPUBLIC OF

Brands, Michael, Hamden, CT, UNITED STATES

|  |    | NUMBER                                    | KIND     | DATE                             |      |
|--|----|---|----------|----------------------------------|------|
| PATENT INFORMATION: APPLICATION INFO.: | US | 2005038101<br>2004-490821<br>2002-EP10349 | A1<br>A1 | 20050217<br>20040916<br>20020916 | (10) |

9

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION,

400 MORGAN LANE, WEST HAVEN, CT, 06516

NUMBER OF CLAIMS:

### 10/825,279

EXEMPLARY CLAIM:

1

LINE COUNT:

2640

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to compounds of formula (I), to a method for the production thereof, and to the use of the same as pharmaceuticals for

the treatment of diseases in humans and/or animals. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 509149-88-6P

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509149-88-6 USPATFULL

CN 1H-Indole-2-carboxamide, N-(5-amino-2-pyridinyl)-5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

IT 509150-45-2P 509150-46-3P

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509150-45-2 USPATFULL

CN Carbamic acid, [6-[[[5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-1H-indol-2-yl]carbonyl]amino]-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 509150-46-3 USPATFULL

CN 1H-Indole-2-carboxamide, 5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$Me_3C-CH_2-C-NH$$

$$C-NH$$

$$N-CH_2$$

$$F$$

L5 ANSWER 2 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:300019 USPATFULL

TITLE: Utilities of amide compounds

INVENTOR(S): Yamamori, Teruo, Hyogo-ken, JAPAN

Nagata, Kiyoshi, Osaka-fu, JAPAN Ishizuka, Natsuki, Osaka-fu, JAPAN Sakai, Katsunori, Osaka-fu, JAPAN

|                     | NUMBER         | KIND | DATE     |      |
|---------------------|----------------|------|----------|------|
| PATENT INFORMATION: | US 2004235888  | A1   | 20041125 |      |
| APPLICATION INFO.:  | US 2004-489333 | A1   | 20040421 | (10) |
|                     | WO 2001-JP7980 |      | 20010914 |      |
| DOCUMENT TYPE:      | Utility        |      |          |      |

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W.,

SUITE 800, WASHINGTON, DC, 20006-1021

NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 2017

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having an activity to enhance the expression of apoAI are provided, which are used as medicaments.

Compounds of formula (I): ##STR1##

in which ring A and Ar.sup.1 are independently a monocyclic or bicyclic aromatic carbocyclic group or aromatic heterocyclic group, each of which may be optionally substituted, or the like; R is a hydrogen or the like; Z is oxygen or the like; Y.sup.1 and Y.sup.2 are a hydrogen, a lower alkyl, or the like; n is an integer of 0 to 2; the broken line is the presence or absence of a bond; and the wavy line represents a cis- or trans-geometrical isomerism with respect to the double bond; are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### IT 62289-86-5P 340258-78-8P

(drug candidate; preparation of aryl amides, arylpropenamides, and arylpentadienamides as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases)

RN 62289-86-5 USPATFULL

CN 1H-Indole-2-carboxamide, 1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 340258-78-8 USPATFULL

CN 1H-Indole-2-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:292827 USPATFULL TITLE: Anti-diabetic agents

INVENTOR(S): Bussolotti, Donald L., Ledyard, CT, UNITED STATES

Gammill, Ronald B., Schoolcraft, MI, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2004229916 A1 20041118

APPLICATION INFO.: US 2004-825279 A1 20040415 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2003-463691P 20030417 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN

POINT ROAD, GROTON, CT, 06340

NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1271

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compounds of formula (I) ##STR1##

the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers, and prodrugs; wherein R.sup.1, R.sup.2, R.sup.a, R.sup.b, X, and Z are as defined herein; pharmaceutical compositions thereof; and uses thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 781614-93-5P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-

ethoxypyridin-3-yl]-2-hydroxypropionic acid ethyl ester

781615-11-0P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-

ethoxypyridin-3-yl]-2-hydroxypropionic acid sodium salt

(drug candidate and intermediate; preparation of N-pyridinyl bicyclic heterocyclic carboxamides as antidiabetics and inhibitors of glycogen phosphorylase)

RN 781614-93-5 USPATFULL

CN 3-Pyridineacetic acid, 6-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5ethoxy-α-hydroxy-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 781615-11-0 USPATFULL

CN 3-Pyridineacetic acid, 6-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl-, monosodium salt (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O & OEt \\ \hline H & C - NH & OH \\ \hline C - CO_2H & OH \\ \hline Me & OH \\ \hline \end{array}$$

Na

TT 781614-91-3P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5 ethoxypyridin-3-yl]-2-methylpropionic acid ethyl ester
 781614-95-7P, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5 ethoxypyridin-3-yl]-2-hydroxypropionic acid 781614-96-8P,
 5-Chloro-1H-indole-2-carboxylic acid N-[5-(1,2-dihydroxy-1-methylethyl)-3 ethoxypyridin-2-yl]amide 781614-98-0P, 5-Chloro-1H-indole-2 carboxylic acid N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(morpholin-4-yl)-2 oxoethyl]pyridin-2-yl]amide
 (drug candidate; preparation of N-pyridinyl bicyclic heterocyclic
 carboxamides as antidiabetics and inhibitors of glycogen phosphorylase)
RN 781614-91-3 USPATFULL
CN 3-Pyridineacetic acid, 6-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5 ethoxy-α,α-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 781614-95-7 USPATFULL

CN 3-Pyridineacetic acid, 6-[[(5-chloro-1H-indol-2-y1)carbonyl]amino]-5ethoxy-α-hydroxy-α-methyl- (9CI) (CA INDEX NAME)

RN 781614-96-8 USPATFULL

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxy-1-methylethyl)-3-ethoxy-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 781614-98-0 USPATFULL

CN 1H-Indole-2-carboxamide, 5-chloro-N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(4-morpholinyl)-2-oxoethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:280928 USPATFULL TITLE: Anti-diabetic agents

INVENTOR(S): Bussolotti, Donald L., Ledyard, CT, UNITED STATES Gammill, Ronald B., Schoolcraft, MI, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2003-466667P 20030430 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN

POINT ROAD, GROTON, CT, 06340

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1

LINE COUNT: 1803

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides compounds of formula (I) ##STR1## AΒ

> the prodrugs thereof, and the pharmaceutically acceptable salts of the compounds and prodrugs; wherein R', R", R'", X, and Z are as defined herein; pharmaceutical compositions thereof; and uses thereof in treating diabetes, insulin resistance, diabetic neuropathy, diabetic nephropathy, diabetic retinopathy, cataracts, hyperglycemia, hypercholesterolemia, hypertension, hyperinsulinemia, hyperlipidemia, atherosclerosis, and tissue ischemia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### 783370-03-6P

(preparation of indolecarboxamide and thieno[2,3-b]pyrrolecarboxamide derivs., useful as antidiabetic agents)

BN783370-03-6 USPATFULL

1H-Indole-2-carboxamide, N-[6-amino-4-(ethylsulfonyl)-2-pyridinyl]-5-CN chloro- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:25173 USPATFULL

Protection against and treatment of hearing loss TITLE:

Nicotera, Thomas, Buffalo, NY, UNITED STATES INVENTOR(S):

Henderson, Donald, Williamsville, NY, UNITED STATES Hangauer, David G., JR., Amherst, NY, UNITED STATES

NUMBER KIND DATE -----A1 US 2004019015 PATENT INFORMATION: 20040129

APPLICATION INFO.: US 2002-277220 20021019 (10)

> DATE NUMBER -----

20011022 (60) PRIORITY INFORMATION: US 2001-336191P

> US 2002-410726P 20020913 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Michael L. Goldman, Esq., NIXON PEABODY LLP, Clinton

Square, P.O. Box 31051, Rochester, NY, 14603-1051

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 22 Drawing Page(s)

LINE COUNT: 3583

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a method for protecting against or treating hearing loss in a subject. This method involves administering an effective amount of a protein tyrosine kinase inhibitor to the subject to protect against or to treat hearing loss.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 518060-39-4P

(preparation of indolecarboxamides as protein kinase and phosphatase inhibitors)

RN 518060-39-4 USPATFULL

CN 1H-Indole-2-carboxamide, 5-fluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:300887 USPATFULL

TITLE: Novel aromatic compounds and poly(oxyalkylene)

containing aromatic compounds possessing antibacterial,

antifungal or antitumor activity

INVENTOR(S): Dyatkina, Natalia B., Mountain View, CA, UNITED STATES

Shi, Dong-Fang, Fremont, CA, UNITED STATES

Roberts, Christopher Don, Belmont, CA, UNITED STATES Velligan, Mark Douglas, Montara, CA, UNITED STATES Reinhard Liehr, Sebastian Johannes, East Palo Alto, CA,

UNITED STATES

Botyanszki, Janos, Fremont, CA, UNITED STATES Zhang, Wentao, Foster City, CA, UNITED STATES

Khorlin, Alexander, Mountain View, CA, UNITED STATES Nelson, Peter Harold, Los Altos, CA, UNITED STATES Muchowski, Joseph Martin, Sunnyvale, CA, UNITED STATES

APPLICATION INFO.: US 2002-328710 A1 20021224 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2001-343796P 20011226 (60)

US 2001-343829P 20011226 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Gerald F. Swiss, Esq., BURNS, DOANE, SWECKER & MATHIS,

L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404

NUMBER OF CLAIMS: 36 EXEMPLARY CLAIM: 1

PATENT INFORMATION:

NUMBER OF DRAWINGS: 21 Drawing Page(s)

LINE COUNT: 4522

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of

the following activities: antibacterial, antifungal and antitumor

activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

## IT 386252-14-8P

(drug candidate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

RN 386252-14-8 USPATFULL

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L5 ANSWER 7 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:238457 USPATFULL

TITLE: Protein kinase and phosphatase inhibitors and methods

for designing them

INVENTOR(S): Hangauer, David G., JR., Amherst, NY, UNITED STATES

El-Araby, Moustafa E., Plainsboro, NJ, UNITED STATES

Milkiewicz, Karen L., Exton, PA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2001-336191P 20011022 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Michael L. Goldman, Esq., NIXON PEABODY LLP, Clinton

Square, P.O. Box 31051, Rochester, NY, 14603-1051

NUMBER OF CLAIMS: 179 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 17 Drawing Page(s)

LINE COUNT: 5985

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides a method for identifying inhibitors of protein kinases and/or protein phosphatases. Methods are also provided for inhibiting protein kinase and/or protein phosphatase activity. Specific non-peptide protein tyrosine kinase and/or protein phosphatase inhibitors are provided. The protein kinase or protein phosphatase inhibitors of the present invention may be used to treat a number of conditions in patients, including cancer, psoriasis, arthrosclerosis,

immune system activity, Type II diabetes, and obesity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 518060-39-4P

(preparation of indolecarboxamides as protein kinase and phosphatase inhibitors)

518060-39-4 USPATFULL RN

1H-Indole-2-carboxamide, 5-fluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME) CN

ANSWER 8 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:173901 USPATFULL

TITLE: Novel compounds possessing antibacterial, antifungal or

antitumor activity

INVENTOR(S): Zhang, Wentao, Foster City, CA, UNITED STATES

Liehr, Sebastian Johannes Reinhard, East Palo Alto, CA,

UNITED STATES

Velligan, Mark Douglas, Montara, CA, UNITED STATES

Dyatkina, Natalia B., Mountain View, CA, UNITED STATES

Botyanszki, Janos, Cupertino, CA, UNITED STATES Shi, Dong-Fang, San Mateo, CA, UNITED STATES

Roberts, Christopher Don, Belmont, CA, UNITED STATES Khorlin, Alexander, Mountain View, CA, UNITED STATES Nelson, Peter Harold, Los Altos, CA, UNITED STATES

Muchowski, Joseph Martin, Sunnyvale, CA, UNITED STATES

KIND NUMBER DATE -----

PATENT INFORMATION: US 2003119749 A1 20030626 US 2002-277666 A1

APPLICATION INFO.: 20021023 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-892327, filed on 26 Jun

2001, PENDING

NUMBER DATE ----

PRIORITY INFORMATION: US 2000-214478P 20000627 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404,

Alexandria, VA, 22313-1404

NUMBER OF CLAIMS: 23 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 6 Drawing Page(s)

LINE COUNT: 3907

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of the following activities: antibacterial, antifungal and antitumor

activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 386252-14-8P

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

386252-14-8 USPATFULL RN

1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]ami CN no]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

ANSWER 9 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2002:67203 USPATFULL

TITLE: Novel compounds possessing antibacterial, antifungal or

antitumor activity

INVENTOR(S): Zhang, Wentao, Foster City, CA, UNITED STATES

Liehr, Sebastian Johannes Reinhard, East Palo Alto, CA,

UNITED STATES

Velligan, Mark Douglas, Montara, CA, UNITED STATES Dyatkina, Natalia B., Mountain View, CA, UNITED STATES

Botyanszki, Janos, Cupertino, CA, UNITED STATES

Shi, Dong-Fang, San Mateo, CA, UNITED STATES

Roberts, Christopher Don, Belmont, CA, UNITED STATES Khorlin, Alexander, Mountain View, CA, UNITED STATES Nelson, Peter Harold, Los Altos, CA, UNITED STATES Muchowski, Joseph Martin, Sunnyvale, CA, UNITED STATES

|                     |    | NUMBER      | KIND | DATE     |     |
|---------------------|----|-------------|------|----------|-----|
| PATENT INFORMATION: |    | 2002037856  | A1   | 20020328 |     |
|                     |    | 6849713     | B2   | 20050201 |     |
| APPLICATION INFO.:  | US | 2001-892327 | A1   | 20010626 | (9) |

NUMBER DATE

PRIORITY INFORMATION: US 2000-214478P 20000627 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Gerald F. Swiss, Esq., BURNS, DOANE, SWECKER & MATHIS,

L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404

NUMBER OF CLAIMS: 23

#### 10/825,279

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 16 Drawing Page(s)

LINE COUNT: 3872

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of

the following activities: antibacterial, antifungal and antitumor

activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 386252-14-8P

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

RN 386252-14-8 USPATFULL

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]ami

no]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-

pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-B

L5 ANSWER 10 OF 10 USPAT2 on STN

ACCESSION NUMBER: 2002:67203 USPAT2

TITLE: Compounds possessing antibacterial, antifungal or

antitumor activity

INVENTOR(S): Zhang, Wentao, Foster City, CA, United States

Liehr, Sebastian Johannes Reinhard, East Palo Alto, CA,

United States

Velligan, Mark Douglas, Montara, CA, United States Dyatkina, Natalia B., Mountain View, CA, United States

Botyanszki, Janos, Cupertino, CA, United States Shi, Dong-Fang, San Mateo, CA, United States

Roberts, Christopher Don, Belmont, CA, United States Khorlin, Alexander, Mountain View, CA, United States Nelson, Peter Harold, Los Altos, CA, United States Muchowski, Joseph Martin, Sunnyvale, CA, United States

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., Redwood City, CA, United

States (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6849713 B2 20050201 APPLICATION INFO.: US 2001-892327 20010626 (9)

NUMBER DATE

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PRIORITY INFORMATION: US 2000-214478P 20000627 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Weddington, Kevin E.

LEGAL REPRESENTATIVE: Foley & Lardner, LLP, Yang, Julie

NUMBER OF CLAIMS: 17 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 26 Drawing Page(s)

LINE COUNT: 3787

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of the following activities: antibacterial, antifungal and antitumor activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### IT 386252-14-8P

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

RN 386252-14-8 USPAT2

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B